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TI 4-(Guanidinomethyl)benzoic acid phenyl esters and peptic ulcer inhibitors
containing them
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TI 4-(Guanidinomethyl)benzoic acid phenyl esters and peptic ulcer inhibitors
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AB 4-H₂NC(:NH)NHCH₂C₆H₄CO₂C₆H₃R₁R₂ (I; R₁ = H, halo, linear or branched lower alkyl, CHO, CO₂H or its ester; R₂ = H, lower alkoxy) or their acid salts, useful as peptic ulcer inhibitors, are prepd. A soln. of p-H₂NCH₂C₆H₄CO₂H in hot H₂O was added dropwise to a soln. of H₂NC(SMe):NH in an aq. NaOH, the reaction mixt. was kept at room temp. for a day, and the resulting crystal was treated with aq. HCl to give 46% 4-H₂NC(:NH)NHCH₂C₆H₄CO₂H.HCl (II). Stirring o-HOC₆H₄CO₂H.Na with PhCH₂Cl in DMF at 100.degree. for 12 h gave benzyl salicylate, which was treated with II and DCC in DMF/pyridine at 50.degree. for 6 h to give 53% I.HCl (R₁ = 2-CO₂CH₂Ph, R₂ = H) (III). III at 100 mg/kg p.o. inhibited EtOH-induced gastric ulcer on rats by 92.6%. A capsule (content 200 mg) contg. III 50, lactose 50, cryst. cellulose 75, Mg stearate 2 mg, and corn starch was prepd.

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